

IN THE CLAIMS

1. (Original) A process for the manufacture of highly optical pure R- (-) or S- (+)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide which comprises:

(a) resolving (R, S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide with D- (-)- or L- (+)-tartaric acid to form a mixture of diastereomeric salts

(b) separating the diastereomeric salt by filtration at desired temperature range

(c) subjecting diastereomeric salt kinetic resolution in a solvent system of the kind such as hereinbefore described;

(d) liberating of optically pure R- (-) or S- (+)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide with optical purity more than 99.9%.

2. (Currently Amended) A process as claimed in claim 1, as claimed in claim 1 wherein said D- (-)- or L- (+)-tartaric acid is employed in 1-1.5 molar ratio with that of (R, S)-5-(2-aminopropyl)-2-methoxybenzenesulfonamide.

3. (Original) A process as claimed in claim 2 wherein D- (-)- or L- (+)-tartaric acid is preferably employed in 1.1 molar ratio with that of (R, S)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide.

4. (Original) A process as claimed in claim 1, wherein in step (a) protic solvents containing C₁-C₆ are employed for resolution alone or along with varying proportions of dipolar solvents like N, N-dimethylformamide, N, N-dimethylacetamide, dimethyl sulfoxide, N-methyl-2-pyrrolidone and water.

5. (Original) A process as claimed in claim 4, wherein said protic solvents are selected from methanol, ethanol, 1-propanol and 2-propanol.

6. (Original) A process as claimed in claim 5, wherein ratio of the dipolar solvent to alcoholic solvent varies from 5-20% (v/v).

7. (Original) A process as claimed in claim 6, wherein amount of a single solvent or a solvents combination employed as that of (R, S)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide is 5-25 volume.

8. (Original) A process as claimed in claim 7, wherein the most preferable amount of methanol and N, N-dimethylformamide employed as that of (R, S)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide is 8.5 and 1.7 volumes respectively.

9. (Original) A process as claimed in claim 8, wherein resolution is carried out at a temperature in the range of 20-70°C, preferably, 60-65°C.

10. (Original) A process as claimed in claim 9, wherein the reaction mixture is stirred for 0-26 hours, preferably, 6 hours.

11. (Original) A process as claimed in claim 1, wherein in step (a), the diastereomeric salt contains the (R) isomer in an amount of from 60-96% and (S) isomer in an amount of from 40-4%, preferably, 80-90% and 20-10% respectively.

12. (Original) A process as claimed in claim 1, wherein in step (b), said diastereomeric salt is separated by filtration over nutsche filter or by centrifugation at temperature 30- 70°C.

13. (Original) A process as claimed in claim 12, wherein the filtration or centrifugation is carried out preferably at a temperature in the range of 60-65°C.

14. (Original) A process as claimed in claim 1, wherein I step (b) said kinetic

resolution of moderately resolved diastereomeric salt is accomplished in 5-15 volumes of a single solvent or a solvent mixture containing primary, secondary or tertiary alcohol (C₁-C₆) with water to get desired optical purity.

15. (Original) A process as claimed in claim 14, wherein said kinetic resolution of moderately resolved diastereomeric salt is accomplished in solvent mixture of 0-10 volumes, preferably, 4 volumes of methanol and 0-5 volumes, preferably 2.5 volumes of water to get desired optical purity.
16. (Original) A process as claimed in claim 1, wherein in step (c) the reaction mixture is refluxed for 0.5-4 hours, preferably 1 hour.
17. (Original) A process as claimed in claim 1, wherein in step (c) the clear solution is cooled from reflux temperature (65-100°C) to 30-60°C, in first cooling, preferably 40-55°C to get optimum results.
18. (Original) A process as claimed in claim 24, wherein the temperature of reaction mixture is maintained for 0-10 hours, preferably 2 hours.

19. (Original) A process is claimed in claim 18, wherein the reaction mixture is further cooled to 10-40°C, preferably, 30-35°C in second cooling.

20. (Currently Amended) A process as claimed in claim 18 [[28]], wherein the reaction mixture is aged for 0-12 hours preferably 6 hours, before filtration.

21. (Currently Amended) A process as claimed in claim 20 [[30]], wherein the diastereomeric salt contains R- isomer in an amount of 93-99%, preferably 98-99% and S-isomer 1-7%, preferably, 1-2%.

22. (Original) A process as claimed in claim 1, wherein in step (c) kinetically resolved diastereomeric salt is subjected to 1-2 more purification steps.

23. (Original) A process as claimed in claim 22, wherein the optical purity of R- (-)-isomer of 5- (2-aminopropyl)-2-methoxybenzenesulfonamide is enhanced from 98 to 99.95% by methanol: water purification.

24. (Original) A process as claimed in claim 1, wherein in step (d) pure

diastereomeric salts having optical purity >99.5% is treated with aqueous solution of base i. e. alkali metal hydroxides, carbonates and bicarbonates to get free R- (-) or S- (+)-5- (2-aminopropyl)-2-methoxybenzenesulfonamide with optical purity between 99.5- 99.9%, but most preferably aqueous sodium hydroxide solution is used.

25. (Currently Amended) A process as claimed in claim 1 any preceding claim, wherein the mother liquor obtained from I and II purification contains diastereomeric salts, having optical purity 70- 85% of R- (-) or S- (+)-5- (2-aminopropyl)-2-methoxy benzenesulfonamide, that can be mixed in another batch during I purification to enhance the productivity.